Web: www.taiclone.com
Tel: +886-2-2735-9682
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Taselisib

Catalog No: tcsc1817

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1282512-48-4

Formula:
$C_{24}H_{28}N_8O_2$

Pathway:
PI3K/Akt/mTOR

Target:
PI3K

Purity / Grade:
>98%

Solubility:
DMSO : 50 mg/mL (108.57 mM; Need ultrasonic)

Alternative Names:
GDC-0032;RG-7604

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Observed Molecular Weight:
460.53

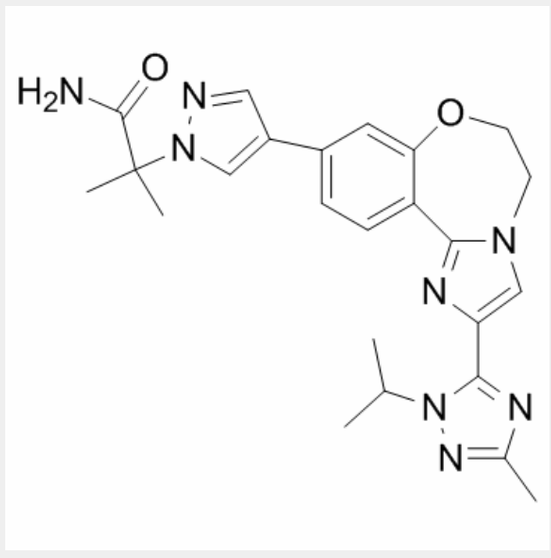
Product Description

Taselisib (GDC-0032) is a potent β-sparing small molecule inhibitor of **PI3K**, with $\mathbf{K_i}$ values of 0.29 nM, 0.91 nM, 0.97 nM for PI3Kα, PI3Kβ and PI3Kγ, respectively.

IC50 & Target: Ki: 0.29 nM (PI3Kα), 9.1 nM (PI3Kβ), 0.97 nM (PI3Kγ), 0.12 nM (PI3Kδ)[3]

In Vitro: Taselisib (GDC-0032) (100 nM) inhibits AKT/mTOR signaling in PIK3CA mutant cell lines but not in cells with loss or mutation of PTEN; Taselisib (GDC-0032) enhances radiation-induced apoptosis and inhibits growth in head and neck cancer cell lines that are sensitive to its single-agent activiy[1]. Taselisib (GDC-0032) enhances the effects of MEK1/2 inhibition on both $BRAF^{V600E}$ /$PTEN^{Null}$ human melanoma cells autochthonous mouse melanomas[2].

In Vivo: Taselisib (GDC-0032) (5 mg/kg, p.o.) potently impairs PI3K signaling and enhances the efficacy of fractionated radiotherapy; Taselisib (GDC-0032) and radiation is more effective than either treatment alone in nude mice implanted with subcutaneous Cal-33 xenografts[1]. The vehicle-treated BRAFV600E/PTENNull melanoma-bearing mice experiencs initial tumor regression after treatment with Taselisib (GDC-0032) (22.5 mg/kg, p.o.)[2].



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